(19) World Intellectual Property Organization International Bureau

(43) International Publication Date

21 May 2004 (21.05.2004)



533809

DC

A COLIN CINICIA IN COLIN COMPOSITI COLIN COLIN COLIN COLONICIA COLINICIA COL

PCT

(10) International Publication Number WO 2004/041783 A1

(51) International Patent Classification⁷:

C07D 209/88

(21) International Application Number:

PCT/SK2003/000020

(22) International Filing Date:

4 November 2003 (04.11.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

PP 1595-2002

8 November 2002 (08.11.2002) SK

(71) Applicant (for all designated States except US): ZEN-TIVA, A.S. [SK/SK]; Nitrianska 100, 920 27 Hlohovec (SK).

(72) Inventors; and

- (75) Inventors/Applicants (for US only): HERCEK, Richard [SK/SK]; Michalská 7, 920 01 Hlohovec (SK). SKODA, Alojz [SK/SK]; Michalská 10, 920 01 Hlohovec (SK). RROKSA, Bohumil [SK/SK]; Vinohradská 1, 920 01 Hlohovec (SK).
- (74) Agent: NEUSCHL, Jozef; Rott, Ruzicka & Guttmann, Patentová, známková a právna kancelária, v.o.s., Pionierska 15, 831 02 Bratislava (SK).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,

MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR PREPARATION OF CARVEDILOL

(57) Abstract: The invention solves a new method of preparation of Carvedilol for pharmaceutical use. In the synthesis of Carvedilol a reaction of 4-(oxirane-2-ylmethoxy)-9H-arbazole (II) with 2-(2-methoxyphenoxy)ethylamine salts (IV) in the presence of a base, in an alcohol having the number of carbons C2 to C5 as a solvent, at an elevated temperature, is used. After processing of the crude reaction mixture crude Carvedilol is obtained, which is purified by crystallization from ethylacetate with an addition of activated carbon and the final substance is formulated by crystallization from ethylacetate.

O 2004/041783 A1